Attorney's Docket No.: 18202-018001 / 1082

**Amendment After Final** 

Applicant: Lin Zhi et al. Serial No.: 10/080,503

Filed: February 22, 2002

## **AMENDMENTS TO THE CLAIMS:**

Claims 1-9, 11-31, 37-40, 46, 49-51, 56-72, 75-77 and 108 are pending. Claims 10, 41, 42 and 45 are cancelled herein without prejudice or disclaimer. Please amend claims 1, 9, 29-31, 49-51, 58, 63, 71, 72, 76 and 77 as indicated. New claim 108 is added herein. This listing of claims will replace all prior versions, and listings of claims, in the application.

## **LISTING OF CLAIMS:**

1. (Currently amended) A compound having the formula:

wherein:

 $R^1$  is selected from the group consisting of hydrogen, F, Cl, Br, I, NO<sub>2</sub>, OR<sup>9</sup>, NR<sup>10</sup>R<sup>11</sup>,  $S(O)_nR^9$ , optionally substituted  $C_1 - C_8$  alkyl, optionally substituted  $C_1 - C_8$  haloalkyl, optionally substituted  $C_3 - C_8$  cycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, optionally substituted  $C_2 - C_8$  alkynyl and optionally substituted  $C_2 - C_8$  alkenyl;

 $R^2$  is selected from the group consisting of hydrogen, F, Cl, Br, I, CF<sub>3</sub>, CF<sub>2</sub>Cl, CF<sub>2</sub>H, CFH<sub>2</sub>, CF<sub>2</sub>OR<sup>9</sup>, CH<sub>2</sub>OR<sup>9</sup>, OR<sup>9</sup>, S(O)<sub>n</sub>R<sup>9</sup>, NR<sup>10</sup>R<sup>11</sup>, optionally substituted C<sub>1</sub> – C<sub>8</sub> alkyl, optionally substituted C<sub>1</sub> – C<sub>8</sub> haloalkyl, optionally substituted C<sub>1</sub> – C<sub>8</sub> heteroalkyl, optionally substituted arylalkyl, optionally substituted arylalkyl, optionally substituted heteroaryl, optionally substituted C<sub>2</sub> – C<sub>8</sub> alkynyl and optionally substituted C<sub>2</sub> – C<sub>8</sub> alkenyl;

 $R^3$  and  $R^4$  each independently is selected from the group consisting of hydrogen,  $OR^9$ ,  $S(O)_nR^9$ ,  $NR^{10}R^{11}$ ,  $C(Y)OR^{11}$ ,  $C(Y)NR^{10}R^{11}$ , optionally substituted  $C_1-C_8$  alkyl, optionally substituted  $C_1-C_8$  haloalkyl, optionally substituted  $C_1-C_8$  heteroalkyl, optionally substituted arylalkyl, optionally substituted arylalkyl, optionally substituted heteroaryl, optionally substituted  $C_2-C_8$  alkynyl and optionally substituted  $C_2-C_8$  alkenyl; or

Serial No.: 10/080,503 Filed: February 22, 2002

R<sup>3</sup> and R<sup>4</sup> taken together form a three to eight membered saturated or unsaturated carbocyclic or heterocyclic ring; or

R<sup>3</sup>-and R<sup>5</sup>-taken together form a three to eight membered saturated or unsaturated earbocyclic ring; or

R<sup>3</sup> and R<sup>6</sup> taken together form a three to eight membered saturated or unsaturated carbocyclic ring; or

R<sup>3</sup>-and R<sup>13</sup>-taken together form a three to eight membered saturated or unsaturated heterocyclic ring;

 $R^5$  and  $R^6$  each independently is selected from the group consisting of hydrogen,  $CF_3$ ,  $CF_2Cl$ ,  $CF_2H$ ,  $CFH_2$ , optionally substituted  $C_1 - C_8$  alkyl, optionally substituted  $C_1 - C_8$  haloalkyl, optionally substituted  $C_1 - C_8$  heteroalkyl, optionally substituted  $C_3 - C_8$  cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted  $C_2 - C_8$  alkynyl and optionally substituted  $C_2 - C_8$  alkenyl; or

R<sup>5</sup>-and R<sup>6</sup>-taken together form a three to eight membered saturated or unsaturated carbocyclic ring; or

 $\ensuremath{\text{R}^{\text{5}}}$  and  $\ensuremath{\text{R}^{\text{13}}}$  taken together form a three to eight membered saturated or unsaturated heterocyclic ring; or

R<sup>6</sup>-and R<sup>13</sup>-taken together-form a three to eight membered saturated or unsaturated heterocyclic ring;

 $R^7$  is selected from the group consisting of hydrogen, F, Cl, Br, I, optionally substituted  $C_1 - C_8$  alkyl, optionally substituted  $C_1 - C_8$  haloalkyl, optionally substituted  $C_1 - C_8$  heteroalkyl, optionally substituted aryl, optionally substituted heteroaryl,  $OR^9$ ,  $S(O)_nR^9$ ,  $NR^{10}R^{11}$ ,  $C(Y)OR^{11}$  and  $C(Y)NR^{10}R^{11}$ ;

 $R^8$  is selected from the group consisting of hydrogen, F, Cl, Br, I, optionally substituted  $C_1 - C_8$  alkyl, optionally substituted  $C_1 - C_8$  haloalkyl, optionally substituted  $C_1 - C_8$  heteroalkyl, optionally substituted aryl, optionally substituted heteroaryl,  $OR^9$ ,  $S(O)_nR^9$ ,  $NR^{10}R^{11}$ ,  $C(Y)OR^{11}$  and  $C(Y)NR^{10}R^{11}$ ;

 $R^9$  is selected from the group consisting of hydrogen, optionally substituted  $C_1 - C_8$  alkyl, optionally substituted  $C_1 - C_8$  haloalkyl, optionally substituted  $C_1 - C_8$  heteroalkyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted arylalkyl;

 $R^{10}$  is selected from the group consisting of hydrogen, optionally substituted  $C_1 - C_8$  alkyl, optionally substituted  $C_1 - C_8$  haloalkyl, optionally substituted  $C_1 - C_8$  heteroalkyl,

Attorney's Docket No.: 18202-018001 / 1082 Applicant: Lin Zhi et al. **Amendment After Final** 

Serial No.: 10/080,503 Filed

: February 22, 2002

optionally substituted aryl, optionally substituted heteroaryl, optionally substituted arylalkyl,  $CO_2R^{12}$ ,  $C(O)R^{12}$ ,  $SO_2R^{12}$  and  $S(O)R^{12}$ ;

R<sup>11</sup> and R<sup>12</sup> each independently is selected from the group consisting of hydrogen, optionally substituted  $C_1 - C_8$  alkyl, optionally substituted  $C_1 - C_8$  haloalkyl, optionally substituted C<sub>1</sub> - C<sub>8</sub> heteroalkyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted arylalkyl;

 $R^{13}$  is selected from the group consisting of optionally substituted  $C_1 - C_8$  alkyl, optionally substituted  $C_1 - C_8$  haloalkyl, optionally substituted  $C_1 - C_8$  heteroalkyl, optionally substituted  $C_2 - C_8$  alkenyl, optionally substituted  $C_2 - C_8$  alkynyl, optionally substituted  $C_3$ C<sub>8</sub> cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted arylalkyl and optionally substituted heteroarylalkyl;

m is selected from the group consisting of 0, 1 and 2;

n is selected from the group consisting of 0, 1 and 2;

W is selected from the group consisting of  $S(O)_n$ , NH,  $N\{R^{13}\}$ ,  $N\{C(Y)R^{11}\}$  and  $N{SO_2R^{11}};$ 

X and Z each independently is selected from the group consisting of O; , NH, N{R<sup>11</sup>},  $N\{C(Y)R^{11}\}$ ,  $N\{SO_2R^{12}\}$  and  $N\{S(O)R^{12}\}$ ;

Z is selected from the group consisting of NH,  $N\{R^{11}\}$ ,  $N\{C(Y)R^{11}\}$ ,  $N\{SO_2R^{12}\}$  and  $N{S(O)R^{12}}$ ; and

Y is O;

and pharmaceutically acceptable salts thereof; wherein:

the substituents of an optionally substituted group comprise one or more substituents independently selected from among alkyl, alkenyl, alkynyl, heteroalkyl, haloalkyl, haloalkenyl, haloalkynyl, cycloalkyl, aryl, heteroaryl, arylalkyl, heteroarylalkyl, alkoxy, aryloxy, haloalkoxy, amino, alkylamino, dialkylamino, alkylthio, arylthio, heteroarylthio, oxo, carboxyester, carboxamido, acyloxy, hydrogen, F, Cl, Br, I, CN, NO<sub>2</sub>, NH<sub>2</sub>, N<sub>3</sub>, NHCH<sub>3</sub>, N(CH<sub>3</sub>)<sub>2</sub>, SH, SCH<sub>3</sub>, OH, OCH<sub>3</sub>, OCF<sub>3</sub>, CH<sub>3</sub>, CF<sub>3</sub>, C(O)CH<sub>3</sub>, CO<sub>2</sub>CH<sub>3</sub>, CO<sub>2</sub>H, C(O)NH<sub>2</sub>, OR<sup>9</sup>, SR<sup>9</sup>, NR<sup>10</sup>R<sup>11</sup>, CF<sub>2</sub>CF<sub>3</sub>, CH<sub>2</sub>CH<sub>2</sub>F and CH<sub>2</sub>CF<sub>3</sub>.

2. (Previously presented) A compound according to claim 1, wherein R<sup>1</sup> is selected from the group consisting of hydrogen, F, Cl, OR<sup>9</sup>, NR<sup>10</sup>R<sup>11</sup>, S(O)<sub>n</sub>R<sup>9</sup>, optionally substituted  $C_1 - C_4$  alkyl, optionally substituted  $C_1 - C_4$  haloalkyl and optionally substituted  $C_1 - C_4$ heteroalkyl.

Filed : February 22, 2002

3. (Previously presented) A compound according to claim 2, wherein  $R^1$  is selected from the group consisting of hydrogen, F, Cl, optionally substituted  $C_1 - C_4$  alkyl, optionally substituted  $C_1 - C_4$  haloalkyl and optionally substituted  $C_1 - C_4$  heteroalkyl.

- 4. (Previously presented) A compound according to claim 3, wherein  $R^1$  is selected from the group consisting of hydrogen, F and optionally substituted  $C_1 C_4$  alkyl.
- 5. (Previously presented) A compound according to claim 1, wherein  $R^2$  is selected from the group consisting of hydrogen, F, Cl, Br, I, CF<sub>3</sub>, CF<sub>2</sub>Cl, CF<sub>2</sub>H, CFH<sub>2</sub>, CF<sub>2</sub>OR<sup>9</sup>, CH<sub>2</sub>OR<sup>9</sup>, OR<sup>9</sup>, S(O)<sub>n</sub>R<sup>9</sup>, optionally substituted C<sub>1</sub> C<sub>6</sub> alkyl, optionally substituted C<sub>1</sub> C<sub>6</sub> haloalkyl, optionally substituted C<sub>2</sub> C<sub>6</sub> alkynyl and optionally substituted C<sub>2</sub> C<sub>6</sub> alkenyl.
- 6. (Previously presented) A compound according to claim 5, wherein  $R^2$  is selected from the group consisting of hydrogen, F, Cl, CF<sub>3</sub>, CF<sub>2</sub>Cl, CF<sub>2</sub>H, CFH<sub>2</sub>, optionally substituted  $C_1 C_4$  alkyl, optionally substituted  $C_1 C_4$  haloalkyl and optionally substituted  $C_1 C_4$  heteroalkyl.
- 7. (Previously presented) A compound according to claim 6, wherein  $R^2$  is selected from the group consisting of hydrogen, optionally substituted  $C_1 C_2$  alkyl, optionally substituted  $C_1 C_2$  haloalkyl and optionally substituted  $C_1 C_2$  heteroalkyl.
  - 8. (Original) A compound according to claim 7, wherein R<sup>2</sup> is CF<sub>3</sub>.
  - 9. (Currently amended) A compound according to claim 1, wherein

 $R^3$  is selected from the group consisting of hydrogen, optionally substituted  $C_1 - C_6$  alkyl, optionally substituted  $C_1 - C_6$  haloalkyl, optionally substituted  $C_1 - C_6$  heteroalkyl,  $C(Y)OR^{11}$  and  $C(Y)NR^{10}R^{11}$ ; or

 $\mbox{R}^{3}\mbox{-and}\mbox{ R}^{6}\mbox{-taken together form a three to eight membered saturated or unsaturated earbocyclic ring.}$ 

## Claim 10. (Cancelled)

- 11. (Previously presented) A compound according to claim 9, wherein  $R^3$  is selected from the group consisting of hydrogen, optionally substituted  $C_1 C_4$  alkyl, optionally substituted  $C_1 C_4$  haloalkyl and optionally substituted  $C_1 C_4$  heteroalkyl.
- 12. (Previously presented) A compound according to claim 1, wherein R<sup>6</sup> is selected from the group consisting of hydrogen, CF<sub>3</sub>, CF<sub>2</sub>Cl, CF<sub>2</sub>H, CFH<sub>2</sub>, optionally substituted C<sub>1</sub> –

Filed: February 22, 2002

 $C_6$  alkyl, optionally substituted  $C_1 - C_6$  haloalkyl, optionally substituted  $C_1 - C_6$  heteroalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted  $C_2 - C_6$  alkynyl and optionally substituted  $C_2 - C_6$  alkenyl.

- 13. (Previously presented) A compound according to claim 12, wherein  $R^6$  is selected from the group consisting of hydrogen,  $CF_3$ ,  $CF_2Cl$ ,  $CF_2H$ ,  $CFH_2$ , optionally substituted  $C_1 C_4$  alkyl, optionally substituted  $C_1 C_4$  haloalkyl, optionally substituted  $C_1 C_4$  heteroalkyl, optionally substituted  $C_2 C_4$  alkynyl and optionally substituted  $C_2 C_4$  alkenyl.
- 14. (Previously presented) A compound according to claim 13, wherein  $R^6$  is selected from the group consisting of hydrogen,  $CF_3$ ,  $CF_2Cl$ ,  $CF_2H$ ,  $CFH_2$ , optionally substituted  $C_1 C_4$  alkyl, optionally substituted  $C_1 C_4$  haloalkyl and optionally substituted  $C_1 C_4$  heteroalkyl.
- 15. (Previously presented) A compound according to claim 12, wherein R<sup>6</sup> is selected from the group consisting of optionally substituted aryl, optionally substituted arylalkyl and optionally substituted heteroaryl.
- 16. (Previously presented) A compound according to claim 1, wherein  $R^5$  is selected from the group consisting of hydrogen,  $CF_3$ ,  $CF_2Cl$ ,  $CF_2H$ ,  $CFH_2$ , optionally substituted  $C_1 C_6$  alkyl, optionally substituted  $C_1 C_6$  haloalkyl, optionally substituted  $C_1 C_6$  heteroalkyl, optionally substituted  $C_2 C_6$  alkynyl, optionally substituted  $C_2 C_6$  alkenyl.
- 17. (Previously presented) A compound according to claim 16, wherein  $R^5$  is selected from the group consisting of hydrogen,  $CF_3$ ,  $CF_2Cl$ ,  $CF_2H$ ,  $CFH_2$ , optionally substituted  $C_1 C_6$  alkyl, optionally substituted  $C_1 C_6$  heteroalkyl.
- 18. (Previously presented) A compound according to claim 17, wherein  $R^5$  is selected from the group consisting of hydrogen,  $CF_3$ ,  $CF_2Cl$ ,  $CF_2H$ ,  $CFH_2$ , optionally substituted  $C_1 C_4$  alkyl, optionally substituted  $C_1 C_4$  haloalkyl and optionally substituted  $C_1 C_4$  heteroalkyl.
  - 19. (Original) A compound according to claim 18, wherein R<sup>5</sup> is hydrogen or CF<sub>3</sub>.

Filed: February 22, 2002

20. (Previously presented) A compound according to claim 1, wherein  $R^7$  is selected from the group consisting of hydrogen, F, Cl, optionally substituted  $C_1 - C_4$  alkyl, optionally substituted  $C_1 - C_4$  haloalkyl and optionally substituted  $C_1 - C_4$  heteroalkyl.

- 21. (Previously presented) A compound according to claim 1, wherein  $R^8$  is selected from the group consisting of hydrogen, F, Cl, optionally substituted  $C_1 C_4$  alkyl, optionally substituted  $C_1 C_4$  haloalkyl and optionally substituted  $C_1 C_4$  heteroalkyl.
- 22. (Original) A compound according to claim 21, wherein  $\mathbb{R}^7$  and  $\mathbb{R}^8$  are each hydrogen or optionally substituted  $\mathbb{C}_1 \mathbb{C}_2$  alkyl.
- 23. (Previously presented) A compound according to claim 1, wherein  $R^9$  is selected from the group consisting of hydrogen, optionally substituted  $C_1 C_6$  alkyl, optionally substituted  $C_1 C_6$  haloalkyl and optionally substituted  $C_1 C_6$  heteroalkyl.
- 24. (Previously presented) A compound according to claim 23, wherein  $R^9$  is selected from the group consisting of hydrogen and optionally substituted  $C_1 C_4$  alkyl.
- 25. (Previously presented) A compound according to claim 1, wherein  $R^{10}$  is selected from the group consisting of hydrogen,  $S(O)R^{12}$ ,  $SO_2R^{12}$ ,  $C(O)R^{12}$ ,  $CO_2R^{12}$ , optionally substituted  $C_1 C_6$  alkyl, optionally substituted  $C_1 C_6$  heteroalkyl.
- 26. (Previously presented) A compound according to claim 25, wherein  $R^{10}$  is selected from the group consisting of hydrogen,  $S(O)R^{12}$ ,  $SO_2R^{12}$ ,  $C(O)R^{12}$  and  $CO_2R^{12}$ .
- 27. (Previously presented) A compound according to claim 1, wherein  $R^4$  is selected from the group consisting of hydrogen, optionally substituted  $C_1 C_4$  alkyl, optionally substituted  $C_1 C_4$  haloalkyl and optionally substituted  $C_1 C_4$  heteroalkyl.
- 28. (Previously presented) A compound according to claim 27, wherein  $R^4$  is selected from the group consisting of hydrogen and optionally substituted  $C_1 C_2$  alkyl.
- 29. (Currently amended) A compound according to claim 1, wherein  $R^{13}$  is selected from the group consisting of  $CF_3$ ,  $CF_2Cl$ ,  $CF_2H$ ,  $CFH_2$ ,  $CH_2CF_3$ ,  $CH_2CF_2Cl$ ,  $CH_2CCl_2F$ , optionally substituted  $C_1 C_6$  alkyl, optionally substituted  $C_3 C_6$  cycloalkyl, optionally substituted  $C_1 C_6$  haloalkyl, optionally substituted  $C_1 C_6$  heteroalkyl, optionally substituted  $C_2 C_6$  alkenyl, optionally substituted  $C_2 C_6$  alkenyl, optionally substituted aryl,

Applicant: Lin Zhi et al. Attorney's Docket No.: 18202-018001 / 1082 **Amendment After Final** 

Serial No.: 10/080,503 : February 22, 2002 Filed

optionally substituted heteroaryl, optionally substituted arylalkyl and optionally substituted heteroarylalkyl; or

R<sup>6</sup>-and R<sup>13</sup>-taken together form a five to seven membered saturated or unsaturated heterocyclic ring.

30. (Currently amended) A compound according to claim 29, wherein R<sup>13</sup> is selected from the group consisting of CF<sub>3</sub>, CF<sub>2</sub>Cl, CF<sub>2</sub>H, CFH<sub>2</sub>, CH<sub>2</sub>CF<sub>3</sub>, CH<sub>2</sub>CF<sub>2</sub>Cl, CH<sub>2</sub>CCl<sub>2</sub>F, optionally substituted  $C_1 - C_4$  alkyl, optionally substituted  $C_1 - C_4$  haloalkyl, optionally substituted  $C_1 - C_4$  heteroalkyl, optionally substituted  $C_2 - C_4$  alkenyl and optionally substituted aryl; or

R<sup>6</sup>-and R<sup>13</sup>-taken together form a five to six membered saturated or unsaturated heterocyclic ring.

31. (Currently amended) A compound according to claim 30, wherein R<sup>13</sup> is selected from the group consisting of CF<sub>3</sub>, CF<sub>2</sub>Cl, CF<sub>2</sub>H, CFH<sub>2</sub>, CH<sub>2</sub>CF<sub>3</sub>, CH<sub>2</sub>CF<sub>2</sub>Cl, CH<sub>2</sub>CCl<sub>2</sub>F, methyl, ethyl, propyl, isopropyl, isobutyl, cyclopropylmethyl, allyl; or

R<sup>6</sup>-and R<sup>13</sup>-taken together form a five membered saturated or unsaturated heterocyclic ring.

Claims 32 - 36 (Cancelled).

- 37. (Original) A compound according to claim 1, wherein m is 0 or 1.
- 38. (Original) A compound according to claim 37, wherein m is 1.
- 39. (Currently amended) A compound according to claim 1, wherein W is selected from the group consisting of NH,  $N\{R^{13}\}$  and  $N\{C(Y)R^{11}\}$ .  $\frac{1}{2}$ ,  $\frac{1}{2}$ ,  $\frac{1}{2}$  and  $\frac{1}{2}$  and  $\frac{1}{2}$  and  $\frac{1}{2}$ .
  - 40. (Original) A compound according to claim 39, wherein W is NH or N{R<sup>13</sup>}.

Claims 41 and 42 (Cancelled).

Claims 43 and 44 (Cancelled).

Claim 45. (Cancelled).

46. (Original) A compound according to claim 45, wherein Z is NH or N{R<sup>11</sup>}.

Claims 47 and 48 (Canceled).

49. (Currently amended) A compound according to claim 1, wherein:

Serial No.: 10/080,503 Filed: February 22, 2002

 $R^1$  is selected from the group consisting of hydrogen, F, Cl,  $OR^9$ ,  $S(O)_nR^9$ ,  $NR^{10}R^{11}$ , optionally substituted  $C_1 - C_4$  alkyl, optionally substituted  $C_1 - C_4$  heteroalkyl;

 $R^2$  is selected from the group consisting of hydrogen, F, Cl, Br, I, CF<sub>3</sub>, CF<sub>2</sub>Cl, CF<sub>2</sub>H, CFH<sub>2</sub>, CF<sub>2</sub>OR<sup>9</sup>, CH<sub>2</sub>OR<sup>9</sup>, OR<sup>9</sup>, S(O)<sub>n</sub>R<sup>9</sup>, optionally substituted C<sub>1</sub> – C<sub>6</sub> alkyl, optionally substituted C<sub>1</sub> – C<sub>6</sub> heteroalkyl, optionally substituted C<sub>2</sub> – C<sub>6</sub> alkynyl and optionally substituted C<sub>2</sub> – C<sub>6</sub> alkenyl;

 $R^3$  is selected from the group consisting of hydrogen, optionally substituted  $C_1 - C_6$  alkyl, optionally substituted  $C_1 - C_6$  haloalkyl, optionally substituted  $C_1 - C_6$  heteroalkyl,  $C(Y)OR^{11}$  and  $C(Y)NR^{10}R^{11}$ ; or

R<sup>3</sup>-and-R<sup>6</sup>-taken together form a three to eight membered saturated or unsaturated carbocyclic ring;

 $R^5$  is selected from the group consisting of hydrogen,  $CF_3$ ,  $CF_2Cl$ ,  $CF_2H$ ,  $CFH_2$ , optionally substituted  $C_1 - C_6$  alkyl, optionally substituted  $C_1 - C_6$  heteroalkyl, optionally substituted  $C_2 - C_6$  alkynyl and optionally substituted  $C_2 - C_6$  alkenyl; and

 $R^6$  is selected from the group consisting of hydrogen,  $CF_3$ ,  $CF_2Cl$ ,  $CF_2H$ ,  $CFH_2$ , optionally substituted  $C_1 - C_6$  alkyl, optionally substituted  $C_1 - C_6$  haloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, optionally substituted  $C_2 - C_6$  alkynyl and optionally substituted  $C_2 - C_6$  alkenyl; or

R<sup>6</sup> and R<sup>13</sup> taken together form a five to seven membered saturated or unsaturated heterocyclic ring.

50. (Currently amended) A compound according to claim 49, wherein:

 $R^7$  is selected from the group consisting of hydrogen, F, Cl, optionally substituted  $C_1$ –  $C_4$  alkyl, optionally substituted  $C_1$  –  $C_4$  haloalkyl and optionally substituted  $C_1$  –  $C_4$  heteroalkyl;

 $R^8$  is selected from the group consisting of hydrogen, F, Cl, optionally substituted  $C_1$ – $C_4$  alkyl, optionally substituted  $C_1$ – $C_4$  haloalkyl and optionally substituted  $C_1$ – $C_4$  heteroalkyl; and

 $R^{13}$  is selected from the group consisting of CF<sub>3</sub>, CF<sub>2</sub>Cl, CF<sub>2</sub>H, CFH<sub>2</sub>, CH<sub>2</sub>CF<sub>3</sub>, CH<sub>2</sub>CF<sub>2</sub>Cl, CH<sub>2</sub>CCl<sub>2</sub>F, optionally substituted C<sub>1</sub> – C<sub>6</sub> alkyl, optionally substituted C<sub>1</sub> – C<sub>6</sub>

Filed : February 22, 2002

haloalkyl, optionally substituted  $C_1-C_6$  heteroalkyl, optionally substituted  $C_3-C_6$  cycloalkyl, optionally substituted  $C_2-C_6$  alkenyl, optionally substituted  $C_2-C_6$  alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted arylalkyl and optionally substituted heteroarylalkyl; or

R<sup>6</sup>-and-R<sup>13</sup>-taken together form a five to seven membered saturated or unsaturated heterocyclic ring.

51. (Currently amended) A compound according to claim 50, wherein:

m is 0 or 1;

W is selected from the group consisting of NH,  $N\{R^{13}\}$ ,  $N\{C(Y)R^{11}\}$  and  $N\{SO_2R^{11}\}$ ;

X is selected from the group consisting of O; , S, NH and N{R<sup>11</sup>}; and

Z is selected from the group consisting of NH, NH or  $N\{R^{11}\}$  and O.

Claims 52 – 55 (Cancelled).

56. (Previously presented) A compound selected from the group consisting of:

(3R)-2,3,4,7-Tetrahydro-3-methyl-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]-quinolin-8-one;

(3R)-2,3,4,7-Tetrahydro-3,4-dimethyl-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]-quinolin-8-one;

- (3R)-4-Ethyl-2,3,4,7-tetrahydro-3-methyl-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]-quinolin-8-one;
- (3R)-2,3,4,7-Tetrahydro-3-methyl-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]quinolin-8-one;
- (3R)-2,3,4,7-Tetrahydro-3-methyl-4-propyl-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]-quinolin-8-one;
- (3R)-4-Allyl-2,3,4,7-tetrahydro-3-methyl-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]-quinolin-8-one;
- (3R)-3-Ethyl-2,3,4,7-tetrahydro-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]quinolin-8-one;
- (3R)-3-Ethyl-2,3,4,7-tetrahydro-4-methyl-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]-quinolin-8-one;
- (3R)-3,4-Diethyl-2,3,4,7-tetrahydro-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]-quinolin-8-one;

Filed : February 22, 2002

(3*R*)-3-Ethyl-2,3,4,7-tetrahydro-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

- (3*R*)-4-(2-Chloro-2,2-difluoroethyl)-3-ethyl-2,3,4,7-tetrahydro-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;
- (3R)-4-(2,2-Difluoroethyl)-3-ethyl-2,3,4,7-tetrahydro-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]quinolin-8-one;
- (3R)-3-Ethyl-2,3,4,7-tetrahydro-4-propyl-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]-quinolin-8-one;
- (3R)-4-Allyl-3-ethyl-2,3,4,7-tetrahydro-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]-quinolin-8-one;
- (3R)-3-Ethyl-2,3,4,7-tetrahydro-4-isobutyl-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]-quinolin-8-one;
- (3R/S)-2,3,4,7-Tetrahydro-3-propyl-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]-quinolin-8-one;
- (3*R/S*)-2,3,4,7-Tetrahydro-4-methyl-3-propyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino-[2,3-*f*]quinolin-8-one;
- (3*R/S*)-4-Ethyl-2,3,4,7-tetrahydro-3-propyl-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;
- (3*R/S*)-2,3,4,7-Tetrahydro-3-propyl-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;
- (3R)-2,3,4,7-Tetrahydro-3-isopropyl-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]-quinolin-8-one;
- (3*R*)-2,3,4,7-Tetrahydro-3-isopropyl-4-methyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino-[2,3-*f*]quinolin-8-one;
- (3*R*)-4-Ethyl-2,3,4,7-tetrahydro-3-isopropyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino-[2,3-*f*]quinolin-8-one;
- (3*R*)-2,3,4,7-Tetrahydro-3-isopropyl-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;
- (3*R*)-4-(2-Chloro-2,2-difluoroethyl)-2,3,4,7-tetrahydro-3-isopropyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;
- (3R)-4-(2,2-Difluoroethyl)-2,3,4,7-tetrahydro-3-isopropyl-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]quinolin-8-one;

Serial No.: 10/080,503 Filed: February 22, 2002

(3R)-4-Allyl-2,3,4,7-tetrahydro-3-isopropyl-10-(trifluoromethyl)-8H-[1,4]oxazino-[2,3-f]quinolin-8-one;

(3*R*)-2,3,4,7-Tetrahydro-3-phenyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]-quinolin-8-one;

(3*R*)-2,3,4,7-Tetrahydro-3-phenyl-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

(3R)-4-Cyclopropylmethyl-2,3,4,7-tetrahydro-3-phenyl-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]quinolin-8-one;

(3*R*)-3-Benzyl-2,3,4,7-tetrahydro-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

2,3,4,7-Tetrahydro-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]quinolin-8-one;

2,3,4,7-tetrahydro-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]-quinolin-8-one;

(7aR,10aS)-7,7a,8,9,10,10a-Hexahydro-1-(trifluoromethyl)-7-(2,2,2-trifluoroethyl)-4*H*-cyclopenta[5,6][1,4]oxazino[2,3-*f*]quinolin-3-one;

(7aR, 10aS)-7-Ethyl-7,7a,8,9,10,10a-hexahydro-1-(trifluoromethyl)-4H-cyclopenta-[5,6][1,4]oxazino[2,3-f]quinolin-3-one;

(7aR,10aS)-7,7a,8,9,10,10a-Hexahydro-3-isopropoxy-1-(trifluoromethyl)-7-(2,2,2-trifluoroethyl)-4*H*-cyclopenta[5,6][1,4]oxazino[2,3-*f*]quinolin-3-one;

 $(\pm)$ -(2S,3R)-2,3,4,7-Tetrahydro-2,3-dimethyl-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]quinolin-8-one;

(6aR)-6a,7,8,9 -Tetrahydro-4-(trifluoromethyl)-1H,6H-pyrrolo[1',2':4,5][1,4]-oxazino[2,3-f]quinolin-2-one\_;

2,3,4,7-Tetrahydro-2,2,4-trimethyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]-quinolin-8-one;

(3R)-8-Chloro-3-ethyl-3,4-dihydro-8-isopropoxy-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-2H-[1,4]oxazino[2,3-f]quinoline;

(3R) -3-Ethyl-3,4-dihydro-8-isopropoxy-8-methoxy-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-2H-[1,4]oxazino[2,3-f]quinoline;

 $(\pm)$ -2,3,4,7-Tetrahydro-4-(2,2,2-trifluoroethyl)-3,10-bis(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]quinolin-8-one;

(-)-2,3,4,7-Tetrahydro-4-(2,2,2-trifluoroethyl)-3,10-bis(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

Attorney's Docket No.: 18202-018001 / 1082
Amendment After Final

Applicant: Lin Zhi et al. Serial No.: 10/080,503

Filed : February 22, 2002

(+)-2,3,4,7-Tetrahydro-4-(2,2,2-trifluoroethyl)-3,10-bis(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

 $(\pm)$ -2,3,4,7-Tetrahydro-3-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]quinolin-8-one;

- $(\pm)$ -2,3,4,7-Tetrahydro-4-methyl-3-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]quinolin-8-one;
- ( $\pm$ )-4-Ethyl-2,3,4,7-tetrahydro-3-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;
- $(\pm)$ -2,3,4,7-Tetrahydro-3,4-bis(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]quinolin-8-one;
- (-)-2,3,4,7-Tetrahydro-3,4-bis(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;
- (+)-2,3,4,7-Tetrahydro-3,4-bis(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;
- ( $\pm$ )-4-Cyclopropylmethyl-2,3,4,7-tetrahydro-3-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]quinolin-8-one;
- (3R)-4-Cyclopropylmethyl-3-ethyl-2,3,4,7-tetrahydro-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]quinolin-8-one;
- (3*R*)-4-(2-Chloroethyl)-2,3,4,7-tetrahydro-3-isopropyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;
- $(\pm)$ -2,3,4,7-Tetrahydro-2-methyl-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]quinolin-8-one;
- (3R)-3-Ethyl-4-(2-hydroxy-2-methylpropyl)-2,3,4,7-tetrahydro-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]quinolin-8-one;
- (3R)-2,3,4,7-Tetrahydro-3-isobutyl-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]quinolin-8-one; and
  - a pharmaceutically acceptable salt thereof.
  - 57. (Previously presented) A compound selected from the group consisting of:
- (3R)-2,3,4,7-Tetrahydro-3-methyl-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]quinolin-8-one;
- (3R)-3-Ethyl-2,3,4,7-tetrahydro-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]quinolin-8-one;

Serial No.: 10/080,503 Filed: February 22, 2002

(3R)-4-(2-Chloro-2,2-difluoroethyl)-3-ethyl-2,3,4,7-tetrahydro-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]quinolin-8-one;

(3R)-4-(2,2-Difluoroethyl)-3-ethyl-2,3,4,7-tetrahydro-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]quinolin-8-one;

(3*R*)-2,3,4,7-Tetrahydro-3-isopropyl-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

(3R)-4-(2-Chloro-2,2-difluoroethyl)-2,3,4,7-tetrahydro-3-isopropyl-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]quinolin-8-one;

(3*R*)-4-(2,2-Difluoroethyl)-2,3,4,7-tetrahydro-3-isopropyl-10-(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

(7aR, 10aS)-7-Ethyl-7,7a,8,9,10,10a-hexahydro-1-(trifluoromethyl)-4H-cyclopenta[5,6][1,4]oxazino[2,3-f]quinolin-3-one;

(7aR,10aS)-7,7a,8,9,10,10a-Hexahydro-1-(trifluoromethyl)-7-(2,2,2-trifluoroethyl)-4*H*-cyclopenta[5,6][1,4]oxazino[2,3-*f*]quinolin-3-one;

 $(\pm)$ -(2S,3R)-2,3,4,7-Tetrahydro-2,3-dimethyl-4-(2,2,2-trifluoroethyl)-10-(trifluoromethyl)-8H-[1,4]oxazino[2,3-f]quinolin-8-one;

(±)-2,3,4,7-Tetrahydro-4-(2,2,2-trifluoroethyl)-3,10-bis(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

(–)-2,3,4,7-Tetrahydro-4-(2,2,2-trifluoroethyl)-3,10-bis(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one;

(+)-2,3,4,7-Tetrahydro-4-(2,2,2-trifluoroethyl)-3,10-bis(trifluoromethyl)-8*H*-[1,4]oxazino[2,3-*f*]quinolin-8-one; and

a pharmaceutically acceptable salt thereof.

58. (Currently amended) A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a compound of formula:

wherein:

Filed: February 22, 2002

 $R^1$  is selected from the group consisting of hydrogen, F, Cl, Br, I, NO<sub>2</sub>, OR<sup>9</sup>, NR<sup>10</sup>R<sup>11</sup>, S(O)<sub>n</sub>R<sup>9</sup>, optionally substituted C<sub>1</sub> – C<sub>8</sub> alkyl, optionally substituted C<sub>1</sub> – C<sub>8</sub> haloalkyl, optionally substituted C<sub>1</sub> – C<sub>8</sub> heteroalkyl, optionally substituted C<sub>3</sub> – C<sub>8</sub> cycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, optionally substituted C<sub>2</sub> – C<sub>8</sub> alkynyl and optionally substituted C<sub>2</sub> – C<sub>8</sub> alkenyl;

 $R^2$  is selected from the group consisting of hydrogen, F, Cl, Br, I, CF<sub>3</sub>, CF<sub>2</sub>Cl, CF<sub>2</sub>H, CFH<sub>2</sub>, CF<sub>2</sub>OR<sup>9</sup>, CH<sub>2</sub>OR<sup>9</sup>, OR<sup>9</sup>, S(O)<sub>n</sub>R<sup>9</sup>, NR<sup>10</sup>R<sup>11</sup>, optionally substituted C<sub>1</sub> – C<sub>8</sub> alkyl, optionally substituted C<sub>1</sub> – C<sub>8</sub> haloalkyl, optionally substituted C<sub>1</sub> – C<sub>8</sub> heteroalkyl, optionally substituted arylalkyl, optionally substituted arylalkyl, optionally substituted heteroaryl, optionally substituted C<sub>2</sub> – C<sub>8</sub> alkynyl and optionally substituted C<sub>2</sub> – C<sub>8</sub> alkenyl;

 $R^3$  and  $R^4$  each independently is selected from the group consisting of hydrogen,  $OR^9$ ,  $S(O)_nR^9$ ,  $NR^{10}R^{11}$ ,  $C(Y)OR^{11}$ ,  $C(Y)NR^{10}R^{11}$ , optionally substituted  $C_1 - C_8$  alkyl, optionally substituted  $C_1 - C_8$  haloalkyl, optionally substituted  $C_1 - C_8$  heteroalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, optionally substituted  $C_2 - C_8$  alkynyl and optionally substituted  $C_2 - C_8$  alkenyl; or

R<sup>3</sup>-and R<sup>4</sup>-taken together form a three to eight membered saturated or unsaturated carbocyclic or heterocyclic ring; or

R<sup>3</sup>-and R<sup>5</sup>-taken together form a three to eight membered saturated or unsaturated carbocyclic ring; or

R<sup>3</sup>-and R<sup>6</sup>-taken together form a three to eight membered saturated or unsaturated carbocyclic ring; or

R<sup>3</sup>-and-R<sup>13</sup>-taken together form a three to eight membered saturated or unsaturated heterocyclic ring;

 $R^5$  and  $R^6$  each independently are selected from the group consisting of hydrogen,  $CF_3$ ,  $CF_2Cl$ ,  $CF_2H$ ,  $CFH_2$ , optionally substituted  $C_1 - C_8$  alkyl, optionally substituted  $C_1 - C_8$  haloalkyl, optionally substituted  $C_1 - C_8$  heteroalkyl, optionally substituted  $C_3 - C_8$  cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted  $C_2 - C_8$  alkynyl and optionally substituted  $C_2 - C_8$  alkenyl; of

R<sup>5</sup>-and R<sup>6</sup>-taken together-form a three to eight membered saturated or unsaturated carbocyclic ring; or

Attorney's Docket No.: 18202-018001 / 1082 Applicant: Lin Zhi et al. Amendment After Final

Serial No.: 10/080,503

: February 22, 2002 Filed

R<sup>5</sup>-and R<sup>13</sup>-taken together form a three to eight membered saturated or unsaturated heterocyclic ring; or

R<sup>6</sup> and R<sup>13</sup> taken together form a three to eight membered saturated or unsaturated heterocyclic ring;

R<sup>7</sup> is selected from the group consisting of hydrogen, F, Cl, Br, I, optionally substituted  $C_1 - C_8$  alkyl, optionally substituted  $C_1 - C_8$  haloalkyl, optionally substituted  $C_1$ C<sub>8</sub> optionally substituted heteroalkyl, optionally substituted aryl, optionally substituted heteroaryl, OR<sup>9</sup>, S(O)<sub>n</sub>R<sup>9</sup>, NR<sup>10</sup>R<sup>11</sup>, C(Y)OR<sup>11</sup> and C(Y)NR<sup>10</sup>R<sup>11</sup>;

R<sup>8</sup> is selected from the group consisting of hydrogen, F, Cl, Br, I, optionally substituted  $C_1 - C_8$  alkyl, optionally substituted  $C_1 - C_8$  haloalkyl, optionally substituted  $C_1$ C<sub>8</sub> heteroalkyl, optionally substituted aryl, optionally substituted heteroaryl, OR<sup>9</sup>, S(O)<sub>n</sub>R<sup>9</sup>, NR<sup>10</sup>R<sup>11</sup>, C(Y)OR<sup>11</sup> and C(Y)NR<sup>10</sup>R<sup>11</sup>;

 $R^9$  is selected from the group consisting of hydrogen, optionally substituted  $C_1 - C_8$ alkyl, optionally substituted  $C_1 - C_8$  haloalkyl, optionally substituted  $C_1 - C_8$  heteroalkyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted arylalkyl;

 $R^{10}$  is selected from the group consisting of hydrogen, optionally substituted  $C_1 - C_8$ alkyl, optionally substituted  $C_1 - C_8$  haloalkyl, optionally substituted  $C_1 - C_8$  heteroalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted arylalkyl, CO<sub>2</sub>R<sup>12</sup>, C(O)R<sup>12</sup>, SO<sub>2</sub>R<sup>12</sup> and S(O)R<sup>12</sup>;

R<sup>11</sup> and R<sup>12</sup> each independently is selected from the group consisting of hydrogen, optionally substituted  $C_1 - C_8$  alkyl, optionally substituted  $C_1 - C_8$  haloalkyl, optionally substituted  $C_1 - C_8$  heteroalkyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted arylalkyl;

 $R^{13}$  is selected from the group consisting of optionally substituted  $C_1 - C_8$  alkyl, optionally substituted C<sub>1</sub> - C<sub>8</sub> haloalkyl, optionally substituted C<sub>1</sub> - C<sub>8</sub> heteroalkyl, optionally substituted  $C_2 - C_8$  alkenyl, optionally substituted  $C_2 - C_8$  alkynyl, optionally substituted  $C_3$  – C<sub>8</sub> cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted arylalkyl and optionally substituted heteroarylalkyl;

m is selected from the group consisting of 0, 1 and 2;

n is selected from the group consisting of 0, 1 and 2;

W is selected from the group consisting of  $S(O)_{n7}$  NH,  $N\{R^{13}\}$ ,  $N\{C(Y)R^{11}\}$  and  $N{SO_2R^{11}};$ 

Filed: February 22, 2002

X and Z each independently is selected from the group consisting of O; , NH, N{R<sup>11</sup>}, N{C(Y)R<sup>11</sup>}, N{SO<sub>2</sub>R<sup>12</sup>} and N{S(O)R<sup>12</sup>};

Z is selected from the group consisting of NH,  $N\{R^{11}\}$ ,  $N\{C(Y)R^{11}\}$ ,  $N\{SO_2R^{12}\}$  and  $N\{S(O)R^{12}\}$ ; and

Y is O;

and pharmaceutically acceptable salts thereof; wherein:

the substituents of an optionally substituted group comprise one or more substituents independently selected from among alkyl, alkenyl, alkynyl, heteroalkyl, haloalkyl, haloalkynyl, cycloalkyl, aryl, heteroaryl, arylalkyl, heteroarylalkyl, alkoxy, aryloxy, haloalkoxy, amino, alkylamino, dialkylamino, alkylthio, arylthio, heteroarylthio, oxo, carboxyester, carboxamido, acyloxy, hydrogen, F, Cl, Br, I, CN, NO<sub>2</sub>, NH<sub>2</sub>, N<sub>3</sub>, NHCH<sub>3</sub>, N(CH<sub>3</sub>)<sub>2</sub>, SH, SCH<sub>3</sub>, OH, OCH<sub>3</sub>, OCF<sub>3</sub>, CH<sub>3</sub>, CF<sub>3</sub>, C(O)CH<sub>3</sub>, CO<sub>2</sub>CH<sub>3</sub>, CO<sub>2</sub>H, C(O)NH<sub>2</sub>, OR<sup>9</sup>, SR<sup>9</sup>, NR<sup>10</sup>R<sup>11</sup>, CF<sub>2</sub>CF<sub>3</sub>, CH<sub>2</sub>CH<sub>2</sub>F and CH<sub>2</sub>CF<sub>3</sub>.

- 59. (Original) A pharmaceutical composition according to claim 58, wherein said composition is suitable for enteral, parenteral, suppository or topical administration.
- 60. (Previously presented) A pharmaceutical composition according to claim 58, wherein  $R^1$  is selected from the group consisting of hydrogen, F, Cl,  $OR^9$ ,  $NR^{10}R^{11}$ ,  $S(O)_nR^9$ , optionally substituted  $C_1 C_4$  alkyl, optionally substituted  $C_1 C_4$  haloalkyl and optionally substituted  $C_1 C_4$  heteroalkyl.
- 61. (Previously presented) A pharmaceutical composition comprising a compound according to claim 1, wherein  $R^2$  is selected from the group consisting of hydrogen, F, Cl, Br, I, CF<sub>3</sub>, CF<sub>2</sub>Cl, CF<sub>2</sub>H, CFH<sub>2</sub>, CF<sub>2</sub>OR<sup>9</sup>, CH<sub>2</sub>OR<sup>9</sup>, OR<sup>9</sup>, S(O)<sub>n</sub>R<sup>9</sup>, optionally substituted C<sub>1</sub> C<sub>6</sub> alkyl, optionally substituted C<sub>1</sub> C<sub>6</sub> haloalkyl, optionally substituted C<sub>1</sub> C<sub>6</sub> heteroalkyl, optionally substituted C<sub>2</sub> C<sub>6</sub> alkynyl and optionally substituted C<sub>2</sub> C<sub>6</sub> alkenyl.
- 62. (Previously presented) A pharmaceutical composition according to claim 59, wherein:

 $R^1$  is selected from the group consisting of hydrogen, F and optionally substituted  $C_1$ – $C_4$  alkyl; and

 $R^2$  is selected from the group consisting of hydrogen, optionally substituted  $C_1 - C_2$  alkyl, optionally substituted  $C_1 - C_2$  haloalkyl and optionally substituted  $C_1 - C_2$  heteroalkyl.

Filed: February 22, 2002

63. (Currently amended) A pharmaceutical composition according to claim 58, wherein  $R^3$  is selected from the group consisting of hydrogen, optionally substituted  $C_1 - C_6$  alkyl, optionally substituted  $C_1 - C_6$  haloalkyl, optionally substituted  $C_1 - C_6$  heteroalkyl,  $C(Y)OR^{11}$  and  $C(Y)NR^{10}R^{11}$ .  $\div or$ 

R<sup>3</sup>-and R<sup>6</sup>-taken together form a three to eight membered saturated or unsaturated carbocyclic ring.

- 64. (Previously presented) A pharmaceutical composition according to claim 58, wherein  $R^6$  is selected from the group consisting of hydrogen,  $CF_3$ ,  $CF_2Cl$ ,  $CF_2H$ ,  $CFH_2$ , optionally substituted  $C_1 C_6$  alkyl, optionally substituted  $C_1 C_6$  heteroalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, optionally substituted  $C_2 C_6$  alkynyl and optionally substituted  $C_2 C_6$  alkenyl.
- 65. (Previously presented) A pharmaceutical composition according to claim 64, wherein  $R^6$  is selected from the group consisting of hydrogen,  $CF_3$ ,  $CF_2Cl$ ,  $CF_2H$ ,  $CFH_2$ , optionally substituted  $C_1 C_4$  alkyl, optionally substituted  $C_1 C_4$  heteroalkyl, optionally substituted  $C_2 C_4$  alkynyl and optionally substituted  $C_2 C_4$  alkenyl.
- 66. (Previously presented) A pharmaceutical composition according to claim 58, wherein  $R^5$  is selected from the group consisting of hydrogen,  $CF_3$ ,  $CF_2Cl$ ,  $CF_2H$ ,  $CFH_2$ , optionally substituted  $C_1 C_6$  alkyl, optionally substituted  $C_1 C_6$  heteroalkyl, optionally substituted  $C_2 C_6$  alkynyl and optionally substituted  $C_2 C_6$  alkenyl.
- 67. (Previously presented) A pharmaceutical composition according to claim 66, wherein  $R^5$  is selected from the group consisting of hydrogen,  $CF_3$ ,  $CF_2Cl$ ,  $CF_2H$ ,  $CFH_2$ , optionally substituted  $C_1 C_4$  alkyl, optionally substituted  $C_1 C_4$  heteroalkyl.
- 68. (Previously presented) A pharmaceutical composition according to claim 58, wherein  $R^7$  and  $R^8$  each independently is selected from the group consisting of hydrogen, F, Cl, optionally substituted  $C_1 C_4$  alkyl, optionally substituted  $C_1 C_4$  haloalkyl and optionally substituted  $C_1 C_4$  heteroalkyl.

Filed : February 22, 2002

69. (Previously presented) A pharmaceutical composition according to claim 58, wherein:

 $R^9$  is selected from the group consisting of hydrogen, optionally substituted  $C_1 - C_6$  alkyl, optionally substituted  $C_1 - C_6$  haloalkyl, and optionally substituted  $C_1 - C_6$  heteroalkyl; and

 $R^{10}$  is selected from the group consisting of hydrogen,  $S(O)R^{12}$ ,  $SO_2R^{12}$ ,  $C(O)R^{12}$ ,  $CO_2R^{12}$ , optionally substituted  $C_1 - C_6$  alkyl, optionally substituted  $C_1 - C_6$  haloalkyl and optionally substituted  $C_1 - C_6$  heteroalkyl.

- 70. (Previously presented) A pharmaceutical composition according to claim 58, wherein  $R^4$  is selected from the group consisting of hydrogen, optionally substituted  $C_1 C_4$  alkyl, optionally substituted  $C_1 C_4$  haloalkyl and optionally substituted  $C_1 C_4$  heteroalkyl.
- 71. (Currently amended) A pharmaceutical composition according to claim 58, wherein  $R^{13}$  is selected from the group consisting of  $CF_3$ ,  $CF_2Cl$ ,  $CF_2H$ ,  $CFH_2$ ,  $CH_2CF_3$ ,  $CH_2CF_2Cl$ ,  $CH_2CCl_2F$ , optionally substituted  $C_1 C_6$  alkyl, optionally substituted  $C_1 C_6$  haloalkyl, optionally substituted  $C_1 C_6$  heteroalkyl, optionally substituted  $C_2 C_6$  alkenyl, optionally substituted  $C_2 C_6$  alkynyl, optionally substituted  $C_3 C_6$  cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted arylalkyl and optionally substituted heteroarylalkyl; or

R<sup>6</sup>-and R<sup>13</sup>-taken together form a five to seven membered saturated or unsaturated heterocyclic ring.

72. (Currently amended) A pharmaceutical composition according to claim 71, wherein R<sup>13</sup> is selected from the group consisting of CF<sub>3</sub>, CF<sub>2</sub>Cl, CF<sub>2</sub>H, CFH<sub>2</sub>, CH<sub>2</sub>CF<sub>3</sub>, CH<sub>2</sub>CF<sub>2</sub>Cl, CH<sub>2</sub>CCl<sub>2</sub>F, methyl, ethyl, propyl, isopropyl, isobutyl, cyclopropylmethyl, and allyl; or

R<sup>6</sup> and R<sup>13</sup>-taken together form a five membered saturated or unsaturated heterocyclic ring.

Claims 73 and 74 (Canceled).

- 75. (Original) A pharmaceutical composition according to claim 58, wherein m is 0 or 1.
- 76. (Currently amended) A pharmaceutical composition according to claim 58, wherein:

Applicant: Lin Zhi et al. Attorney's Docket No.: 18202-018001/1082

Serial No.: 10/080,503

Amendment After Final
Filed: February 22, 2002

W is selected from the group consisting of NH,  $N\{R^{13}\}$ ,  $N\{R^{13}\}$  and  $N\{C(Y)R^{11}\}$ ; and  $N\{SO_2R^{11}\}$ ; and

X is selected from the group consisting of O., NH and N{R<sup>11</sup>}.

77. (Currently amended) A pharmaceutical composition according to claim 58, wherein Z is selected from the group consisting of NH, NH or N{R<sup>11</sup>}, and O.

Claims 78 - 107 (Cancelled).

108. (New) The compound of claim 1, wherein:

 $R^1$  is selected from the group consisting of hydrogen, F, Cl, Br, I, NO<sub>2</sub>, OR<sup>9</sup>, NR<sup>10</sup>R<sup>11</sup>,  $S(O)_nR^9$ ,  $C_1 - C_8$  alkyl,  $C_1 - C_8$  haloalkyl,  $C_1 - C_8$  heteroalkyl,  $C_3 - C_8$  cycloalkyl, aryl, arylalkyl, heteroaryl,  $C_2 - C_8$  alkynyl and o  $C_2 - C_8$  alkenyl;

 $R^2$  is selected from the group consisting of hydrogen, F, Cl, Br, I, CF<sub>3</sub>, CF<sub>2</sub>Cl, CF<sub>2</sub>H, CFH<sub>2</sub>, CF<sub>2</sub>OR<sup>9</sup>, CH<sub>2</sub>OR<sup>9</sup>, OR<sup>9</sup>, S(O)<sub>n</sub>R<sup>9</sup>, NR<sup>10</sup>R<sup>11</sup>, C<sub>1</sub> - C<sub>8</sub> alkyl, C<sub>1</sub> - C<sub>8</sub> haloalkyl, C<sub>1</sub> - C<sub>8</sub> heteroalkyl, C<sub>3</sub> - C<sub>8</sub> cycloalkyl, aryl, arylalkyl, heteroaryl, C<sub>2</sub> - C<sub>8</sub> alkynyl and C<sub>2</sub> - C<sub>8</sub> alkenyl;

 $R^3$  and  $R^4$  each independently is selected from the group consisting of hydrogen,  $OR^9$ ,  $S(O)_nR^9$ ,  $NR^{10}R^{11}$ ,  $C(Y)OR^{11}$ ,  $C(Y)NR^{10}R^{11}$ ,  $C_1-C_8$  alkyl,  $C_1-C_8$  haloalkyl,  $C_1-C_8$  heteroalkyl,  $C_3-C_8$  cycloalkyl, aryl, arylalkyl, heteroaryl,  $C_2-C_8$  alkynyl and  $C_2-C_8$  alkenyl;

 $R^5$  and  $R^6$  each independently is selected from the group consisting of hydrogen,  $CF_3$ ,  $CF_2Cl$ ,  $CF_2H$ ,  $CFH_2$ ,  $C_1 - C_8$  alkyl,  $C_1 - C_8$  haloalkyl,  $C_1 - C_8$  heteroalkyl,  $C_3 - C_8$  cycloalkyl, aryl, arylalkyl, heteroaryl,  $C_2 - C_8$  alkynyl and  $C_2 - C_8$  alkenyl;

 $R^7$  is selected from the group consisting of hydrogen, F, Cl, Br, I,  $C_1 - C_8$  alkyl,  $C_1 - C_8$  haloalkyl,  $C_1 - C_8$  heteroalkyl, aryl, heteroaryl,  $OR^9$ ,  $S(O)_nR^9$ ,  $NR^{10}R^{11}$ ,  $C(Y)OR^{11}$  and  $C(Y)NR^{10}R^{11}$ ;

 $R^8$  is selected from the group consisting of hydrogen, F, Cl, Br, I,  $C_1 - C_8$  alkyl,  $C_1 - C_8$  haloalkyl,  $C_1 - C_8$  heteroalkyl, aryl, heteroaryl,  $OR^9$ ,  $S(O)_nR^9$ ,  $NR^{10}R^{11}$ ,  $C(Y)OR^{11}$  and  $C(Y)NR^{10}R^{11}$ ;

 $R^9$  is selected from the group consisting of hydrogen,  $C_1 - C_8$  alkyl,  $C_1 - C_8$  haloalkyl,  $C_1 - C_8$  heteroalkyl, aryl, heteroaryl and arylalkyl;

 $R^{10}$  is selected from the group consisting of hydrogen,  $C_1 - C_8$  alkyl,  $C_1 - C_8$  haloalkyl,  $C_1 - C_8$  heteroalkyl, aryl, heteroaryl, arylalkyl,  $CO_2R^{12}$ ,  $C(O)R^{12}$ ,  $SO_2R^{12}$  and  $S(O)R^{12}$ ;

: February 22, 2002 Filed

 $R^{11}$  and  $R^{12}$  each independently is selected from the group consisting of hydrogen,  $C_1$  $-C_8$  alkyl,  $C_1 - C_8$  haloalkyl,  $C_1 - C_8$  heteroalkyl, aryl, heteroaryl and arylalkyl;

 $R^{13}$  is selected from the group consisting of  $C_1 - C_8$  alkyl,  $C_1 - C_8$  haloalkyl,  $C_1 - C_8$ heteroalkyl,  $C_2 - C_8$  alkenyl,  $C_2 - C_8$  alkynyl,  $C_3 - C_8$  cycloalkyl, aryl, heteroaryl, arylalkyl and heteroarylalkyl;

m is selected from the group consisting of 0, 1 and 2;

n is selected from the group consisting of 0, 1 and 2;

W is selected from the group consisting of NH,  $N\{R^{13}\}$ ,  $N\{C(Y)R^{11}\}$  and  $N\{SO_2R^{11}\}$ ;

Z is selected from the group consisting of NH,  $N\{R^{11}\}$ ,  $N\{C(Y)R^{11}\}$ ,  $N\{SO_2R^{12}\}$  and  $N{S(O)R^{12}}$ ; and

Y is O;

and pharmaceutically acceptable salts thereof